FILE 'HOME' ENTERED AT 14:46:08 ON 22 FEB 2005

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COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 14:46:26 ON 22 FEB 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 22 Feb 2005 VOL 142 ISS 9 FILE LAST UPDATED: 21 Feb 2005 (20050221/ED)

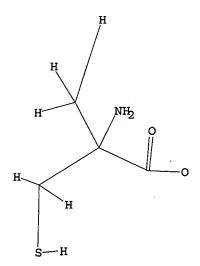
This file contains CAS Registry Numbers for easy and accurate substance identification.

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L1 STRUCTURE UPLOADED

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L1 STR



Structure attributes must be viewed using STN Express query preparation.

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

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100.0% PROCESSED 179 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2778 TO 4382 PROJECTED ANSWERS: 2 TO 124

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=> d 1-5 ibib abs hitstr

ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2001:730698 CAPLUS DOCUMENT NUMBER: 135:289056

TITLE:

Preparation of amidino compounds useful as nitric

oxide synthase inhibitors

INVENTOR (S):

Webber, Ronald Keith; Awasthi, Alok K.; Bergmanis, Arija A.; Durley, Richard C.; Ganser, Scott S.; Hagen,

2 ANSWERS

Timothy J.; Hallinan, Ann E.; Hansen, Donald W.;

Hickory, Brian S.; Moormann, Alan E.; Pitzele, Barnett S.; Promo, Michelle A.; Schartman, Richard R.; Snyder,

Jeffrey S.; Trivedi, Mahima; Tsymbalov, Sofya

PATENT ASSIGNEE(S):

SOURCE:

Pharmacia Corporation, USA

PCT Int. Appl., 144 pp. CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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OTHER SOURCE(S): MARPAT 135:289056

The invention relates to S-[2-[(1-iminoethyl)amino]ethyl]-2-methyl-Lcysteine (1) or its pharmaceutically acceptable salts for use as nitric oxide synthase (NOS) inhibitors. Thus, 1.2HCl was prepared by a multistep procedure involving S-alkylation of (2R)-2-methyl-L-cysteine hydrochloride with Boc-NHCH2CH2Br (Boc = tert-butoxycarbonyl), deprotection, condensation with Et acetimidate hydrochloride, and acidolysis with 1 N (2R)-2-methyl-L-cysteine hydrochloride was obtained from (R)-cysteine Me ester hydrochloride. Inhibitory assays for compound 1.2HCl showed hiNOS, hecNOS, hncNOS, and human cartilage IC50 values 3.1, 77, 15 μM , and 0.7 μM , resp.

IT 148766-37-4P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amidino compds. useful as nitric oxide synthase inhibitors) 148766-37-4 CAPLUS

CN L-Cysteine, 2-methyl-, hydrochloride (9CI)

Absolute stereochemistry.

RN

HCl

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2001:730697 CAPLUS

DOCUMENT NUMBER:

TITLE:

INVENTOR (S):

135:273215 Preparation of amidino compounds useful as nitric

oxide synthase inhibitors

Webber, Ronald Keith; Awasthi, Alok K.; Bergmanis, Arija A.; Durley, Richard C.; Fok, Kam F.; Ganser, Scott S.; Hagen, Timothy J.; Hallinan, Ann E.; Hansen, Donald W.; Hickory, Brian S.; Manning, Pamela T.; Mao, Michael; Moormann, Alan E.; Pitzele, Barnett S.; Promo, Michelle A.; Schartman, Richard R.; Scholten, Jeffrey A.; Snyder, Jeffrey S.; Toth, Mihaly V.;

Trivedi, Mahima; Tsymbalov, Sofya; Tjoeng, Foe Siong

Pharmacia Corporation, USA PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

SOURCE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

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OTHER SO	DURCE (S) ·		MΔ1	ייעסכ	135.	2732			002-		2	0021	4 1 /			

OTHER SOURCE(S): MARPAT 135:273215

Amidino compds. R11N:CR13NR12CR9R10CR1R7-X-CR5R6CR2(NR3R4)COR8 [X = S, SO, SO2; R1, R5, R6, R7 = H, halo, alkyl (alkyl and other groups may be substituted), alkenyl, alkynyl, alkoxyalkyl; R2 = alkyl, alkenyl, alkynyl, alkoxyalkyl, alkylthioalkyl; R3 = H, OH, CHO, alkanoyl, CO2H, C(O)SH or alkyl esters; R8 = OH, alkoxy, an amino or alkylamino group or R3 and R8 may form a ring; R4 = H, CO2H, carbalkoxy; R9, R10 = H, alkyl, alkenyl, alkynyl, alkoxyalkyl; R11, R12 = H, OH, CO2H, C(O)SH or esters or R11 and R12 may form a ring; R13 = alkyl (with provisos)] or their salts were prepared as nitric oxide synthase (NOS) inhibitors. Thus, S-[2-[(1-iminoethyl)amino]ethyl]-2-methyl-L-cysteine dihydrochloride (1) was prepared by a multistep procedure involving S-alkylation of (2R)-2-methyl-L-cysteine hydrochloride with Boc-NHCH2CH2Br (Boc = tert-butoxycarbonyl), deprotection, condensation with Et acetimidate hydrochloride, and acidolysis with 1 N HCl. (2R)-2-methyl-L-cysteine hydrochloride was obtained from (R)-cysteine Me ester hydrochloride. Inhibitory assays for compound 1 showed hiNOS, hecNOS, hncNOS, and human cartilage IC50 values 3.1, 77, 15 μM , and 0.7 μM , resp.

IT 148766-37-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amidino compds. useful as nitric oxide synthase inhibitors)

RN 148766-37-4 CAPLUS

CN L-Cysteine, 2-methyl-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:657309 CAPLUS

DOCUMENT NUMBER: 123:83804

TITLE: Total synthesis of thiangazole, a novel naturally

occurring HIV-1 inhibitor from Polyangium sp

AUTHOR(S): Boyce, Richard J.; Mulqueen, Gerard C.; Pattenden,

Gerald

CORPORATE SOURCE: Dep. Chemistry, Nottingham Univ., Nottingham, NG7 2RD,

UK

SOURCE: Tetrahedron (1995), 51(26), 7321-30

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Pergamon
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 123:83804

GI

The total synthesis of the cinnamyl-oxazole substituted tris-thiazoline containing metabolite (-)-thiangazole is described. The synthesis is based on elaboration of the R-2-methylcysteine derived bis-thiazoline nitrile I and oxazole II intermediates, followed by a cyclocondensation reaction between I and II, and treatment of the resulting tris-thiazoline oxazole ester with methylamine.

IT 148766-37-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(total synthesis of thiangazole)

RN 148766-37-4 CAPLUS

CN L-Cysteine, 2-methyl-, hydrochloride (9CI) (CA INDEX NAME)

HC1

ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:8366 CAPLUS

DOCUMENT NUMBER: 120:8366

TITLE: Synthesis of the thiazoline-based siderophore

(S) -desferrithiocin

AUTHOR (S): Mulqueen, Gerard C.; Pattenden, Gerald; Whiting,

Donald A.

CORPORATE SOURCE: Dep. Chem., Univ. Nottingham, Nottingham, NG7 2RD, UK

SOURCE: Tetrahedron (1993), 49(24), 5359-64

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

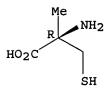
AB A total synthesis of (S)-desferrithiocin (I), isolated from Streptomyces antibioticus, is described. Thus, a concise synthesis of (S)-2-methylcysteine hydrochloride is first developed based on a modification of Seebach's self-reproduction of chirality protocol using the thiazolidine intermediate II derived from (S)-cysteine and pivalaldehyde as a key intermediate. When a solution of (S)-2-methylcysteine hydrochloride is heated with 2-cyano-3-hydroxypyridine in the presence of triethylamine, I is produced in 97% yield. In a similar manner, use of (R)-2-methylcysteine in a cyclocondensation with 2-cyano-3-hydroxypyridine led to (R)-desferrithiocin, in a similar yield.

IT 148766-37-4

RL: RCT (Reactant); RACT (Reactant or reagent) (intermediate in desferrithiocin total synthesis)

RN 148766-37-4 CAPLUS

CNL-Cysteine, 2-methyl-, hydrochloride (9CI) (CA INDEX NAME)



HCl

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:473043 CAPLUS

DOCUMENT NUMBER: 119:73043

TITLE: Enantioselective synthesis of 2-alkyl substituted

cysteines

AUTHOR(S): Pattenden, Gerald; Thom, Stephen M.; Jones, Martin F.

CORPORATE SOURCE: Dep. Chem., Univ. Nottingham, Nottingham, NG7 2RD, UK

SOURCE: Tetrahedron (1993), 49(10), 2131-8

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 119:73043

GΙ

CO₂Me CO₂Me CO₂Me OHCN Me
$$H_2N$$
 Me H_2N $@$ HCl H_3 C H_2 Me H_3 C H_3 C H_4 C H_4 C H_5 C

- AB Treatment of (R)-cysteine-derived thiazolidine derivative I with LDA-DMPU at -90°, followed by alkylation with MeI gave methylated thiazolidine II containing the Me and tert-Bu groups virtually exclusively anti to one another. Hydrolysis of II by 5M HCl gave (R)-2-methylcysteine hydrochloride (III) in excellent yield and enantiomeric purity. A range of other 2-alkyl substituted cysteines of excellent optical purity are prepared by this modification of Seebach's "self-reproduction of chirality" protocol.
- IT 148766-37-4P

RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent) (enantioselective synthesis of)

RN 148766-37-4 CAPLUS

CN L-Cysteine, 2-methyl-, hydrochloride (9CI) (CA INDEX NAME)

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(FILE 'HOME' ENTERED AT 14:46:08 ON 22 FEB 2005)

FILE 'CAPLUS' ENTERED AT 14:46:26 ON 22 FEB 2005

L1 STRUCTURE UPLOADED

S L1

FILE 'REGISTRY' ENTERED AT 14:47:01 ON 22 FEB 2005

L2 2 S L1

FILE 'CAPLUS' ENTERED AT 14:47:01 ON 22 FEB 2005

L3 18 S L2

L4 5 S L3 AND PY<2002

=> s l1 full

REG1stRY INITIATED

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100.0% PROCESSED 3203 ITERATIONS

SEARCH TIME: 00.00.01

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21606142 PY<2002

L7 32 L6 AND PY<2002

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42316 CYCLOALKYL

L8 6 L7 AND (ALKYL OR CYCLOALKYL)

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L8 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2001:730697 CAPLUS 135:273215

DOCUMENT NUMBER: TITLE:

Preparation of amidino compounds useful as nitric

oxide synthase inhibitors

INVENTOR(S):

Webber, Ronald Keith; Awasthi, Alok K.; Bergmanis, Arija A.; Durley, Richard C.; Fok, Kam F.; Ganser, Scott S.; Hagen, Timothy J.; Hallinan, Ann E.; Hansen, Donald W.; Hickory, Brian S.; Manning, Pamela T.; Mao, Michael; Moormann, Alan E.; Pitzele, Barnett S.; Promo, Michelle A.; Schartman, Richard R.; Scholten, Jeffrey A.; Snyder, Jeffrey S.; Toth, Mihaly V.;

20 ANSWERS

Trivedi, Mahima; Tsymbalov, Sofya; Tjoeng, Foe Siong Pharmacia Corporation, USA

PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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MARPAT 135:273215 OTHER SOURCE(S):

Amidino compds. R11N:CR13NR12CR9R10CR1R7-X-CR5R6CR2(NR3R4)COR8 [X = S, SO, SO2; R1, R5, R6, R7 = H, halo, alkyl (alkyl and other groups may be substituted), alkenyl, alkynyl, alkoxyalkyl; R2 = alkyl, alkenyl, alkynyl, alkoxyalkyl, alkylthioalkyl; R3 = H, OH, CHO, alkanoyl, CO2H, C(O)SH or alkyl esters; R8 = OH, alkoxy, an amino or alkylamino group or R3 and R8 may form a ring; R4 = H, CO2H, carbalkoxy; R9, R10 = H, alkyl, alkenyl, alkynyl, alkoxyalkyl; R11, R12 = H, OH, CO2H, C(O)SH or esters or R11 and R12 may form a ring; R13 = alkyl (with provisos)] or their salts were prepared as nitric oxide synthase (NOS) inhibitors. Thus, S-[2-[(1iminoethyl)amino]ethyl]-2-methyl-L-cysteine dihydrochloride (1) was prepared by a multistep procedure involving S-alkylation of (2R)-2-methyl-Lcysteine hydrochloride with Boc-NHCH2CH2Br (Boc = tert-butoxycarbonyl), deprotection, condensation with Et acetimidate hydrochloride, and acidolysis with 1 N HCl. (2R)-2-methyl-L-cysteine hydrochloride was obtained from (R)-cysteine Me ester hydrochloride. Inhibitory assays for compound 1 showed hiNOS, hecNOS, hncNOS, and human cartilage IC50 values 3.1, 77, 15 μ M, and 0.7 μ M, resp.

IT 148766-37-4P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amidino compds. useful as nitric oxide synthase inhibitors) RN148766-37-4 CAPLUS

CN L-Cysteine, 2-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:163575 CAPLUS

DOCUMENT NUMBER:

128:204913

TITLE:

Preparation of thiazepinecarboxamide derivatives and related heterocycles as metalloprotease inhibitors

INVENTOR(S): De, Biswanath; Natchus, Michael George; Pikul,

Stanislaw; Almstead, Neil Gregory; Matthews, Randall

Stryker; Taiwo, Yetunde Olabisi; Cheng, Menyan

PATENT ASSIGNEE(S):

SOURCE:

Procter & Gamble Company, USA

PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent

English

FAMILY ACC. NUM. COUNT:

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PRIOR	PRIORITY APPLN. INFO.:										US 1	996-2	2476	4 P]	P 1:	9960	828				
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											US 1	997-	9219	53	1	A3 1	9970	826				

OTHER SOURCE(S):

MARPAT 128:204913

GI

AB I [R1 = H; R2 = H, alkyl, acyl; Ar = COR3, SO2R4; R3 = alkoxy, alkyl, aryl, etc.; R4 = alkyl, heteroalkyl, aryl, heteroaryl; X = CH2, O, S, SO, SO2, NR5; R5 = H, alkyl, etc.; W = H, alkyl, alkylene or arylene or heteroarylene bridge between two carbons; Y = H, OH, amino, etc.; Z = -, H, spiro moiety, oxo; n = 1-3], inhibitors of metalloproteases (no data), were prepared. E.g., N-hydroxy-2,2-dimethyl-S,S-dioxo-4-[(4-methoxyphenyl)sulfonyl]thiazepine-3(S)-carboxamide was prepared using D-penicillamine and 4-methoxybenzenesulfonyl chloride as starting materials.

IT 151062-55-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiazepinecarboxamide derivs. and related heterocycles as metalloprotease inhibitors)

RN 151062-55-4 CAPLUS

CN D-Cysteine, 2-methyl-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1993:473043 CAPLUS

DOCUMENT NUMBER:

119:73043

TITLE:

SOURCE:

Enantioselective synthesis of 2-alkyl

substituted cysteines

AUTHOR(S):

Pattenden, Gerald; Thom, Stephen M.; Jones, Martin F.

CORPORATE SOURCE:

Dep. Chem., Univ. Nottingham, Nottingham, NG7 2RD, UK

Tetrahedron (1993), 49(10), 2131-8

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 119:73043

GI

AB Treatment of (R)-cysteine-derived thiazolidine derivative I with LDA-DMPU at -90°, followed by alkylation with MeI gave methylated thiazolidine
II containing the Me and tert-Bu groups virtually exclusively anti to one another. Hydrolysis of II by 5M HCl gave (R)-2-methylcysteine hydrochloride (III) in excellent yield and enantiomeric purity. A range of other 2-alkyl substituted cysteines of excellent optical purity are prepared by this modification of Seebach's "self-reproduction of chirality" protocol.

IT 148766-37-4P

RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent) (enantioselective synthesis of)

RN 148766-37-4 CAPLUS

CN L-Cysteine, 2-methyl-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

IT 120519-93-9P

RN 120519-93-9 CAPLUS

CN L-Cysteine, 2-methyl-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HCl

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1989:231195 CAPLUS

DOCUMENT NUMBER:

110:231195

TITLE:

Asymmetric catalysis. XL. Enantioselective hydrosilylation of ketones by diphenylsilane with 1,5-cyclooctadienerhodium chloride dimer-pyridinethiazolidine catalysts

AUTHOR(S):

Brunner, Henri; Kuerzinger, Alfred

CORPORATE SOURCE:

Inst. Anorg. Chem., Univ. Regensburg, Regensburg,

D-8400, Fed. Rep. Ger.

SOURCE:

Journal of Organometallic Chemistry (1988),

346(3), 413-24

CODEN: JORCAI; ISSN: 0022-328X

DOCUMENT TYPE: LANGUAGE:

Journal English

OTHER SOURCE(S):

CASREACT 110:231195

Fifty-eight prochiral ketones have been used in enantioselective hydrosilylation with Ph2SiH2 promoted by in-situ catalysts consisting of [Rh(COD)Cl]2 (COD = 1,5-cyclooctadiene) and the chiral ligands (4S)-2-methyl-2-(2-pyridyl)-4-carbomethoxy-1,3-thiazolidine (I) and (4S)-2-(2-pyridyl)-4-carbethoxy-1,3-thiazolidine (II). Hydrolysis of the silyl ethers gave the corresponding secondary alcs. Aryl Me ketones were reduced with enantiomeric excesses (ee's) better than 80% irresp. of whether the substituents Me, Cl, F, OMe were in o-, m-, or p- position of the Ph ring. The only exceptions were ketones containing the p-OMe substituent, for which a p-methoxy effect diminished the optical yields. Heterocyclic ketones were also hydrosilylated with high optical inductions, e.g. 2-acetylpyridine with 88.5% ee. Linear alkyl ketones with the CO group in the 2-position (Me ketones) gave up to 50% ee R, in contrast to the corresponding Et ketones with the CO group in 3-position, which gave predominantly S configurated products. In 35 cases the asym. inductions were higher with ligand II than with ligand I.

IT 120519-93-9

RL: RCT (Reactant); RACT (Reactant or reagent) (cyclocondensation of, with acetylpyridine)

RN 120519-93-9 CAPLUS

CN L-Cysteine, 2-methyl-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

IT 120519-94-0

RL: RCT (Reactant); RACT (Reactant or reagent) (cyclocondensation of, with pyridinecarboxaldehyde)

RN 120519-94-0 CAPLUS

CN L-Cysteine, 2-methyl-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1987:435786 CAPLUS

DOCUMENT NUMBER: 107:35786

TITLE: Radioprotective and radiosensitizing effects of

sulfur-containing amino acid derivatives on E. coli

AUTHOR (S): Nishimura, Akihisa

CORPORATE SOURCE: Radiol. Technol. Course, Kurashiki Paramed. Coll.,

Kurashiki, 701-01, Japan

SOURCE: Okayama Igakkai Zasshi (1986), 98 (9/10),

827-50

CODEN: OIZAAV; ISSN: 0030-1558

DOCUMENT TYPE: Journal LANGUAGE: Japanese

Both protection and sensitization of Escherichia coli and C57BL mice

against 60Co γ -rays with S-containing amino acid derivs. (S-

alkyl-L-cysteines, S-alkyl-2-methyl-DL-cysteines and their hydration derivs. and sulfoxides of these compds.) were examined E. coli Cells (106/mL) in 20 mM aqueous solution of the S compds. was irradiated with 60 Gy of γ -rays. Mice (5-wk-old males) were subjected to 7.5 Gy of γ -rays after a single i.p. injection of 0.75 mmol/kg body weight of each compound In the case of E. coli, S-alkyl compds. were more effective than S-Pr ones for protection, and sulfoxide amino acids exhibited a radiosensitization effect. The replacement of the α -H of S-substituted cysteines by Me groups decreased the radioprotective effect. The hydantoin derivs. such as DL-5-allylthiomethylhydantoin were much more radioprotective than the original amino acids. In mice, DL-5-allylthio-methyl-5-methylhydantoin and DL-5-propylthiomethylhydantoin (0.75 mmole/kg) had a marked radioprotective effect. The survival ratios were 6.33 and 6.67, or the dose reduction factors (DRF) were 1.41 and 1.53, resp. On the other hand, DL-5-allylthiomethyl-5-methylhydantoin sulfoxide

had a radiosensitizing effect, with a survival ratio of 0.333 and a DRF of

0.517. 22681-73-8D, S-alkyl derivs.

RL: BIOL (Biological study)

(gamma ray effect on Escherichia coli and mice modification by)

RN 22681-73-8 CAPLUS

Cysteine, 2-methyl- (8CI, 9CI) (CA INDEX NAME) CN

IT

ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1956:91190 CAPLUS

DOCUMENT NUMBER: 50:91190 ORIGINAL REFERENCE NO.: 50:17149a-c

TITLE: Biological protection against radiation. XIV. Further

researches on the specificity of radiation protection action of cysteine-cysteamine and various sulfhydryl

compounds

AUTHOR (S): Langendorff, Hanns; Koch, Ruprecht SOURCE: Strahlentherapie (1956), 99, 567-76

CODEN: STRAAA; ISSN: 0039-2073

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

cf. C.A. 50, 9456i. Expts. are described on the protection against x-rays carried out in rats and mice. Examined were N-alkyl-substituted

cysteamines and cystamines, N-phenyl-substituted cysteamines, acid amides of cysteamine, isocysteine, β -homocysteine, α -methylcysteine, N-diethylhomocysteamine, as well as mercapto(amino)heptane and mercapto(amino)pentane. The results of these expts. confirm that the protective effect of the sulfhydryl bodies is bound to the base constitution HS(CH2)xNRR', in which x must probably not be higher than 3 and R and R' have to be alkyl-substituting compds. The α -thio amino acids, which correspond to the base constitution, are efficacious, as well, whereas the β -isomeric compds. evidently produce an effect of sensitization.

IT 22681-73-8, Cysteine, 2-methyl-(radioprotective activity of)

RN 22681-73-8 CAPLUS CN Cysteine, 2-methyl- (8CI, 9CI) (CA INDEX NAME)

$$\begin{array}{c} \operatorname{NH_2} \\ | \\ \operatorname{HS-CH_2-C-CO_2H} \\ | \\ \operatorname{Me} \end{array}$$

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L9

STRUCTURE UPLOADED

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Structure attributes must be viewed using STN Express query preparation.

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REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 14:58:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 85 TO ITERATE

100.0% PROCESSED

85 ITERATIONS

9 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

1147 TO 2253

PROJECTED ANSWERS:

9 TO 360

L10

9 SEA SSS SAM L9

L11

10 L10

=> s 19 full

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 14:58:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1455 TO ITERATE

SEARCH TIME: 00.00.01

L12 164 SEA SSS FUL L9

L13 16 L12

=> s 113 and py<2002 21606142 PY<2002

L14 2 L13 AND PY<2002

=> d 1-2 ibib abs hitstr

L14 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2001:730698 CAPLUS

DOCUMENT NUMBER:

135:289056

TITLE:

Preparation of amidino compounds useful as nitric

oxide synthase inhibitors

INVENTOR (S):

Webber, Ronald Keith; Awasthi, Alok K.; Bergmanis, Arija A.; Durley, Richard C.; Ganser, Scott S.; Hagen,

Timothy J.; Hallinan, Ann E.; Hansen, Donald W.;

Hickory, Brian S.; Moormann, Alan E.; Pitzele, Barnett S.; Promo, Michelle A.; Schartman, Richard R.; Snyder,

Jeffrey S.; Trivedi, Mahima; Tsymbalov, Sofya

PATENT ASSIGNEE(S):

SOURCE:

Pharmacia Corporation, USA

PCT Int. Appl., 144 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

2

LANGUAGE:
FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PA'	rent :	йО.			KIND DATE				APPLICATION NO.										
	WO	2001	 0727	03											20010323 <					
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
								DK,												
								IS,												
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								AZ,												
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,		
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			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
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	EP	1265																		
		R:						ES,					LI,	LU,	NL,	SE,	MC,	PT,		
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑL,	TR								
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	ZA	2002	0064	59		A		2003	0813	2	ZA 2	002-	6459			2	0010	323		
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										Ţ	JS 2	001-	8165	75		A3 2	0010	323		
										,	WO 2	001-	US 94	33		w 2	0010	323		

OTHER SOURCE(S):

MARPAT 135:289056

The invention relates to S-[2-[(1-iminoethyl)amino]ethyl]-2-methyl-L-cysteine (1) or its pharmaceutically acceptable salts for use as nitric oxide synthase (NOS) inhibitors. Thus, 1.2HCl was prepared by a multistep procedure involving S-alkylation of (2R)-2-methyl-L-cysteine hydrochloride with Boc-NHCH2CH2Br (Boc = tert-butoxycarbonyl), deprotection, condensation with Et acetimidate hydrochloride, and acidolysis with 1 N HCl. (2R)-2-methyl-L-cysteine hydrochloride was obtained from (R)-cysteine Me ester hydrochloride. Inhibitory assays for compound 1.2HCl showed hiNOS, hecNOS, hncNOS, and human cartilage IC50 values 3.1, 77, 15 μM, and 0.7 μM, resp.

IT 364067-16-3P 364067-34-5P 364067-35-6P 364067-36-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of amidino compds. useful as nitric oxide synthase inhibitors) 364067-16-3 CAPLUS

CN L-Cysteine, S-[2-[(1-iminoethyl)amino]ethyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

● 2 HCl

L14 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2001:730697 CAPLUS DOCUMENT NUMBER: 135:273215 TITLE: Preparation of amidino compounds useful as nitric oxide synthase inhibitors INVENTOR(S): Webber, Ronald Keith; Awasthi, Alok K.; Bergmanis, Arija A.; Durley, Richard C.; Fok, Kam F.; Ganser, Scott S.; Hagen, Timothy J.; Hallinan, Ann E.; Hansen, Donald W.; Hickory, Brian S.; Manning, Pamela T.; Mao, Michael; Moormann, Alan E.; Pitzele, Barnett S.; Promo, Michelle A.; Schartman, Richard R.; Scholten, Jeffrey A.; Snyder, Jeffrey S.; Toth, Mihaly V.; Trivedi, Mahima; Tsymbalov, Sofya; Tjoeng, Foe Siong PATENT ASSIGNEE(S): Pharmacia Corporation, USA SOURCE: PCT Int. Appl., 159 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: DATE PATENT NO. KIND APPLICATION NO. DATE --------------_____ WO 2001072702 A2 20011004 WO 2001-US9431 20010323 <--**A3** WO 2001072702 20020919 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG CA 2402199 AA 20011004 CA 2001-2402199 20010323 <--US 2002019563 A1 20020214 US 2001-816577 20010323 US 6403830 B2 20020611 US 2002111493 **A1** 20020815 US 2001-816575 20010323 US 6586474 B2 20030701 EP 1265859 **A2** 20021218 EP 2001-920718 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR ZA 2002-6459 ZA 2002006459 Α 20030813 20010323 JP 2003528852 **T2** JP 2001-570615 20030930 20010323 NZ 520813 NZ 2001-520813 Α 20040528 20010323 - A- 20030813 ZA 2002006455 ZA 2002-6455 20020813 US 2003199701 A1 US 2002-321969 20031023 20021217 A1 US 2004186178 20040923 US 2004-815375 20040401 PRIORITY APPLN. INFO.: US 2000-191923P P 20000324 US 2001-816575 A3 20010323 WO 2001-US9431 W 20010323 US 2002-321969 B3 20021217 OTHER SOURCE(S): MARPAT 135:273215 Amidino compds. R11N:CR13NR12CR9R10CR1R7-X-CR5R6CR2(NR3R4)COR8 [X = S, SO, SO2; R1, R5, R6, R7 = H, halo, alkyl (alkyl and other groups may be substituted), alkenyl, alkynyl, alkoxyalkyl; R2 = alkyl, alkenyl, alkynyl, alkoxyalkyl, alkylthioalkyl; R3 = H, OH, CHO, alkanoyl, CO2H, C(O)SH or alkyl esters; R8 = OH, alkoxy, an amino or alkylamino group or R3 and R8 may form a ring; R4 = H, CO2H, carbalkoxy; R9, R10 = H, alkyl, alkenyl, alkynyl, alkoxyalkyl; R11, R12 = H, OH, CO2H, C(O)SH or esters or R11 and

R12 may form a ring; R13 = alkyl (with provisos)] or their salts were

S-[2-[(1-iminoethyl)amino]ethyl]-2-methyl-L-cysteine dihydrochloride (1)

prepared as nitric oxide synthase (NOS) inhibitors. Thus,

was prepared by a multistep procedure involving S-alkylation of (2R) -2-methyl-L-cysteine hydrochloride with Boc-NHCH2CH2Br (Boc = tert-butoxycarbonyl), deprotection, condensation with Et acetimidate hydrochloride, and acidolysis with 1 N HCl. (2R)-2-methyl-L-cysteine hydrochloride was obtained from (R)-cysteine Me ester hydrochloride. Inhibitory assays for compound 1 showed hiNOS, hecNOS, hncNOS, and human cartilage IC50 values 3.1, 77, 15 μ M, and 0.7 μ M, resp.

ΙT 364067-16-3P 364067-34-5P 364067-35-6P

364067-36-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of amidino compds. useful as nitric oxide synthase inhibitors)

RN 364067-16-3 CAPLUS

CN L-Cysteine, S-[2-[(1-iminoethyl)amino]ethyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HC1

RN364067-34-5 CAPLUS

CN D-Cysteine, S-(2-aminoethyl)-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

2 HCl

RN364067-35-6 CAPLUS

CN D-Cysteine, S-[2-[(1-iminoethyl)amino]ethyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

CM 1

CRN 364067-22-1 CMF C8 H17 N3 O2 S

Absolute stereochemistry.

$$\begin{array}{c|c} NH & Me \\ NH_2 & NH_2 \\ \\ Me & H & CO_2H \end{array}$$

CM 2

CRN 64-19-7 CMF C2 H4 O2

IT 364067-22-1DP, IPR (amberlite)-69 salt 364067-22-1P 364067-23-2P 364067-24-3P 364067-25-4P 364067-26-5P 364067-27-6P 364067-28-7P 364067-38-9P 364067-39-0P 364067-40-3P 364067-41-4P 364067-42-5P 364067-43-6P 364067-44-7P 364067-45-8P 364067-46-9P 364067-47-0P 364067-48-1P 364067-49-2P 364067-50-5P 364067-51-6P 364067-52-7P 364067-53-8P 364067-54-9P 364067-55-0P 364067-56-1P 364067-57-2P 364067-58-3P 364067-59-4P 364067-60-7P 364067-61-8P 364067-62-9P 364067-64-1P 364067-65-2P 364067-66-3P 364067-67-4P 364067-68-5P 364067-69-6P 364067-70-9P 364067-71-0P 364067-72-1P 364067-73-2P 364067-74-3P 364067-75-4P 364067-76-5P 364067-77-6P 364067-78-7P 364067-79-8P 364067-80-1P 364067-81-2P 364067-82-3P 364067-83-4P 364067-84-5P 364067-85-6P 364067-86-7P 364067-87-8P 364067-88-9P 364067-89-0P 364067-90-3P 364067-91-4P 364067-92-5P 364067-93-6P 364067-94-7P 364067-95-8P 364067-96-9P 364067-97-0P 364067-98-1P

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364067-99-2P 364068-00-8P 364068-01-9P
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     364068-05-3P 364068-06-4P 364068-07-5P
     364068-08-6P 364068-09-7P 364068-10-0P
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     364068-14-4P 364068-15-5P 364068-51-9P
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     364068-55-3P 364068-56-4P 364068-57-5P
     364068-58-6P 364068-59-7P 364068-60-0P
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     364068-64-4P 364068-65-5P 364068-66-6P
     364068-67-7P 364068-68-8P 364068-69-9P
     364068-70-2P 364068-71-3P 364068-73-5P
     364068-74-6P 364068-75-7P 364068-77-9P
     364068-78-0P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of amidino compds. useful as nitric oxide synthase inhibitors)
RN
     364067-22-1 CAPLUS
CN
     L-Cysteine, S-[2-[(1-iminoethyl)amino]ethyl]-2-methyl- (9CI) (CA INDEX
    NAME)
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